(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization

International Bureau



(43) International Publication Date 4 August 2005 (04.08.2005)

PCT

(10) International Publication Number WO 2005/070926 A1

- (51) International Patent Classification⁷: C07D 417/04, 417/14, A61K 31/501, 31/506, A61P 11/00
- (21) International Application Number:

PCT/EP2005/000542

- (22) International Filing Date: 20 January 2005 (20.01.2005)
- (25) Filing Language:

English

(26) Publication Language:

English

GB

(30) Priority Data: 0401336.3 21 January 2004 (21.01.2004)

- (71) Applicant (for all designated States except AT, US): NO-VARTIS AG [CH/CH]; Lichstrasse 35, CH-4056 Basel (CH).
- (71) Applicant (for AT only): NOVARTIS PHARMA GMBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): PRESS, Neil, John [GB/GB]; Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West Sussex RH12 5AB (GB). TAY-LOR, Roger, John [GB/GB]; Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West Sussex RH12 5AB (GB).

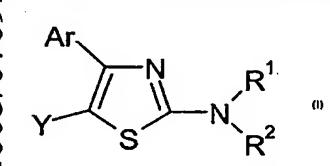
- (74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: THIAZOLE DERIVATIVES AS A2B ANTAGONISTS



(57) Abstract: Compounds of formula (I) in free or salt form, where Ar is phenyl substituted by one or more substituents selected from halogen, cyano and C₁. C₈-haloalkyl, or naphthyl, R¹ is hydrogen, phenyl optionally substituted by one or more substituents selected from halogen, cyano, hydroxy, C₁-C₈-alkyl, C₁-C₈-haloalkyl, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈ alkyl, carboxy, C₁-C₈-alkoxycarbonyl and acyloxy, or R¹ is a 5- or 6- membered monovalent heterocyclic group, R² is hydrogen, C₁-C₈-alkyl, acyl or -CON(R³)R⁴, R³ and R⁴ are each independently hydrogen or C₁-C₈-alkyl, or together with the nitrogen atom to which they are

attached denote a 5- or 6- membered heterocyclic group, and Y is a pyrimidinyl or pyridazinyl group, optionally substituted by at least one C_1 - C_3 -alkyl, C_1 - C_8 -alkoxy, C_1 - C_8 -alkylthio, C_1 - C_8 -alkyl amino, di(C_1 - C_8 -alkyl) amino or acylamino group. The compounds are useful as pharmaceuticals.

2005/070926 A